Scope and Limitations of Electrosyntheses for the Manufacture of Pharmaceutical Intermediates and Products

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What makes a molecule a drug ?
Drug Design, Drug Development

There is a wealth of information implicitly enclosed in the structure of a molecule that currently is sold as a drug. Thus the knowledge of functional groups, rings and any interesting structural moieties in specific drug classes may be an important aid in the design of drug libraries and for drug design.

Historically, the paradigm of drug development has followed an iterative cycle of screening and synthesis, involving the manipulation of pharmaceutical intermediates and products.

Electrosynthesis, scope and limitation for the development and manufacture of pharmaceutical intermediates and produts

The introduction of high throughput in biological screening and accelerated discovery of new biological targets has increased the demand on synthetic chemists to produce new compounds to for testing. Since the main strategy in the synthesis of organic compounds is to create very divers molecule structures, methods which achieve this objective with less negative environmental effects, low risks of operation hazards or less expensive means are clearly a must.

Electrosynthesis can offer these advantages as illustrated by the synthesis of Fenopren. Electrochemical methodology is an effective synthesis tool in building organic compounds including molecules with defined handedness. Although organic electrochemistry has developed into a standard operation in synthetic chemistry in different fields, electrosynthesis is not so far the method of choice for the production of many drugs. Pros and cons, scope and limitations are discussed and illustrated by real examples from the research, development and production.

Oxidations: Methoxylated intermediates as *key building blocks* of polycyclic skeletons. Acetoxylation: Synthesis of *key intermediates* to β-lactam antibiotics. Deacetoxylations.

Cleavage reactions: Deprotections: Cleavage of S-S-bonds: Synthesis of cysteine, cysteine derivatives, intermediates, drugs.

Reductions: Electrochemically generated hydroxylamine *intermediates* and *building blocks.*

Fluorinations, defluorinations

Synthesis of complex drugs. Synthesis of natural products.